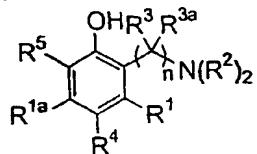


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WHAT IS CLAIMED IS:

1. A compound of formula I:



5 wherein,

R<sup>5</sup> is hydrogen;

10 R<sup>1a</sup> and R<sup>1</sup> independently are C<sub>1-6</sub> alkyl, halo, C<sub>1-6</sub> alkoxy, C<sub>3-10</sub> cycloalkyl, C<sub>6-10</sub> aryl, and trihalovinyl, said aryl optionally substituted with 1-3 groups of R<sup>a</sup>;

15 R<sup>2</sup> is hydrogen, C<sub>1-6</sub> alkyl, and C<sub>3-10</sub> cycloalkyl; taken together with any intervening atoms can form a 3 to 7 membered carbocyclic or heterocyclic ring saturated or unsaturated, said heterocyclic ring containing 1-2 heteroatoms independently chosen from O, C(O), S, SO, SO<sub>2</sub>, N, or NR<sup>2a</sup> and optionally substituted by 1-3 Ra groups;

R<sup>2a</sup> is hydrogen, and C<sub>1-6</sub> alkyl;

20 R<sup>3</sup> and R<sup>3a</sup> are independently hydrogen, halo, C<sub>1-6</sub> alkyl, C<sub>3-10</sub> cycloalkyl, and C<sub>6-10</sub> aryl, said aryl and alkyl optionally substituted with 1-3 groups of R<sup>a</sup>; or

25 R<sup>3</sup> and R<sup>3a</sup> taken together with any intervening atoms can form a 3 to 7 membered carbocyclic or heterocyclic ring saturated or unsaturated, said heterocyclic ring containing 1-2 heteroatoms independently chosen from O, C(O), S, SO, SO<sub>2</sub>, N, or NR<sup>2a</sup> and optionally substituted by 1-3 R<sup>a</sup> groups;

R<sup>4</sup> is hydrogen, halo, C<sub>1-6</sub> alkyl, and trihaloalkyl;

30 R<sup>a</sup> represents C<sub>1-6</sub> alkoxy, C<sub>1-6</sub> alkyl, CF<sub>3</sub>, nitro, amino, cyano, C<sub>1-6</sub> alkylamino, or halogen; and

n represents 1-3;

or a pharmaceutically acceptable salt, enantiomer, or diastereomer thereof.

5

2. A compound according to claim 1 wherein R<sup>1a</sup> and R<sup>1</sup> independently are tert-butyl, 1,2,2-trichlorovinyl, or phenyl.

10 3. A compound according to claim 1 wherein R<sup>2</sup> is hydrogen or C<sub>1-4</sub> alkyl, and n is 1.



4. A compound according to claim 1 wherein R<sup>1a</sup> and R<sup>1</sup> independently are tert-butyl, 1,2,2-trichlorovinyl, or phenyl; R<sup>2</sup> is hydrogen or C<sub>1-4</sub> alkyl, and n is 1.

15

5. A compound according to claim 4 wherein R<sup>1a</sup> and R<sup>1</sup> are tert-butyl, and R<sup>2</sup> is hydrogen.



6. A compound which is:

20 2-aminomethyl-5-tert-butyl-3-phenylphenol,  
2-aminomethyl-5-tert-butyl-3-(4-methylphenyl)phenol,  
3,5-di-tert-butyl-2-[(ethylamino)methyl]phenol,  
3,5-di-tert-butyl-2-[1-(ethylamino)ethyl]phenol,  
3,5-di-tert-butyl-2-[(methylamino)methyl]phenol,

25 3,5-bis(trichlorovinyl)-2-[(ethylamino)methyl]phenol,  
3,5-di-tert-butyl-2-[(propylamino)methyl]phenol,  
2-[(ethylamino)methyl]-5-(trichlorovinyl)phenol,  
3,5-di-tert-butyl-2-[(butylamino)methyl]phenol,  
3,5-di-tert-butyl-2-[(cyclohexylamino)methyl]phenol,

30 3,5-di-tert-butyl-2-[(hexylamino)methyl]phenol,  
3,5-di-tert-butyl-2-[(octylamino)methyl]phenol,  
3,5-di-tert-butyl-2-[(2-hydroxyethylamino)methyl]phenol,  
tert-butyl N-(2,4-di-tert-butyl-6-hydroxybenzyl)-beta-alaninate,

35 3,5-di-tert-butyl-2-[(2-dimethylaminoethylamino)methyl]phenol,  
3,5-di-tert-butyl-2-[(3-phenylpropylamino)methyl]phenol,

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3,5-di-tert-butyl-2-[(allylamino)methyl]phenol,  
5-tert-butyl-2-[(ethylamino)methyl]phenol,  
2-[(benzylamino)methyl]-5-tert-butylphenol,  
5-tert-butyl-2-[(cyclohexylamino)methyl]phenol,

5 2-[(cyclohexylamino)methyl]-5-(trichlorovinyl)phenol,  
5-tert-butyl-2-{[(2-phenylethyl)amino]methyl}phenol,  
2-[(benzylamino)methyl]-5-(trichlorovinyl)phenol,  
3,5-di-tert-butyl-2-[(decylamino)methyl]phenol,  
2-[(propylamino)methyl]-5-(trichlorovinyl)phenol,

10 5-tert-butyl-2-[(propylamino)methyl]phenol,  
5-tert-butyl-2-[(cyclopropylamino)methyl]phenol,  
5-tert-butyl-2-[(1,2,3,4-tetrahydronaphthalen-1-ylamino)methyl]phenol,  
2-[(cyclopropylamino)methyl]-5-(trichlorovinyl)phenol,  
2-[(isopropylamino)methyl]-5-(trichlorovinyl)phenol,

15 2-[(1,2,3,4-tetrahydronaphthalen-1-ylamino)methyl]-5-(trichlorovinyl)phenol,  
2-[(2,3-dihydro-1H-inden-1-ylamino)methyl]-5-(trichlorovinyl)phenol,  
3,5-di-tert-butyl-2-{[(1R)-1-phenylethyl]amino}methylphenol,  
3,5-di-tert-butyl-2-{[(1-naphthylmethyl)amino]methyl}phenol,  
3,5-di-tert-butyl-2-{[(2-naphthylmethyl)amino]methyl}phenol,

20 2-[(tert-butylamino)methyl]-5-(trichlorovinyl)phenol,  
3,5-di-tert-butyl-2-[(1,2,3,4-tetrahydronaphthalen-2-ylamino)methyl]phenol,  
2-[(cyclohexylamino)methyl]-3-(trichlorovinyl)phenol,  
3,5-di-tert-butyl-2-[(2,3-dihydro-1H-inden-2-ylamino)methyl]phenol,  
3,5-di-tert-butyl-2-{[(1R)-2,3-dihydro-1H-inden-1-ylamino]methyl}phenol,

25 3,5-di-tert-butyl-2-{[(1S)-2,3-dihydro-1H-inden-1-ylamino]methyl}phenol,  
5-tert-butyl-2-[(2,3-dihydro-1H-inden-2-ylamino)methyl]phenol,  
5-tert-butyl-2-{[(4-methoxybenzyl)amino]methyl}phenol,  
5-tert-butyl-2-[(neopentylamino)methyl]phenol,  
5-tert-butyl-2-{[(3,3-dimethylbutyl)amino]methyl}phenol,

30 5-tert-butyl-2-[2-(cyclohexylamino)ethyl]phenol,  
5-tert-butyl-2-[(2,3-dihydro-1H-inden-1-ylamino)methyl]phenol,  
5-tert-butyl-2-[(isopropylamino)methyl]phenol,  
3,5-di-tert-butyl-2-[(decahydronaphthalen-2-ylamino)methyl]phenol,  
5-tert-butyl-2-[(isopentylamino)methyl]phenol,

35 5-tert-butyl-2-[(isobutylamino)methyl]phenol,

5-*tert*-butyl-2-(piperidin-1-ylmethyl)phenol,  
3,5-di-*tert*-butyl-2-{[(2-pyridin-2-ylethyl)amino]methyl}phenol,  
3,5-di-*tert*-butyl-2-{[(2-pyridin-3-ylethyl)amino]methyl}phenol,  
3,5-di-*tert*-butyl-2-{[(2-pyridin-4-ylethyl)amino]methyl}phenol,  
5 3,5-di-*tert*-butyl-2-[(tetrahydro-2H-pyran-4-ylamino)methyl]phenol,  
3,5-di-*tert*-butyl-2-{[(cyclohexylmethyl)amino]methyl}phenol,  
2-(aminomethyl)-3,5-di-*tert*-butylphenol,  
5-tert-butyl-2-{[(3-methoxybenzyl)amino]methyl}phenol,  
2-(aminomethyl)-4-bromo-5-*tert*-butylphenol,  
10 ethyl N-(2,4-di-*tert*-butyl-6-hydroxybenzyl)-beta-alaninate,  
3-*tert*-butyl-2-(piperidin-1-ylmethyl)phenol,  
3-*tert*-butyl-2-[(cyclohexylamino)methyl]phenol,  
2-[2-(cyclohexylamino)ethyl]-5-(trichlorovinyl)phenol,  
3-*tert*-butyl-2-[2-(cyclohexylamino)ethyl]phenol,  
15 3,5-di-*tert*-butyl-2-{[(tetrahydro-2H-pyran-2-ylmethyl)amino]methyl}phenol,  
3,5-di-*tert*-butyl-2-[2-(cyclohexylamino)ethyl]phenol,  
3,5-di-*tert*-butyl-2-{[(3-furylmethyl)amino]methyl}phenol,  
2-[2-(benzylamino)ethyl]-3,5-di-*tert*-butylphenol,  
2-(Aminomethyl)-3-*tert*-butyl-5-methylphenol,  
20 3-(Aminomethyl)-4,6-di-*tert*-butyl-4'-chlorobiphenyl-2-ol,  
4,6-Di-*tert*-butyl-3-[(*tert*-butylamino)methyl]-4'-chlorobiphenyl-2-ol,  
4,6-di-*tert*-butyl-3-[(butylamino)methyl]-4'-chlorobiphenyl-2-ol,  
4,6-Di-*tert*-butyl-4'-chloro-3-[(dimethylamino)methyl]biphenyl-2-ol,  
4,6-Di-*tert*-butyl-4'-chloro-3-[(diethylamino)methyl]biphenyl-2-ol,  
25 5-*Tert*-butyl-2-[2-(dimethylamino)ethyl]phenol,  
5-*Tert*-butyl-2-[2-(methylamino)ethyl]phenol,  
2-(2-Aminoethyl)-3,5-di-*tert*-butylphenol,  
2-(Aminomethyl)-5-*tert*-butyl-3-methylphenol,  
2-(Aminomethyl)-3,5-bis(trichlorovinyl)phenol,  
30 2-(Aminomethyl)-5-(trichlorovinyl)phenol,  
3,5-Di-*tert*-butyl-2-{[(1,4-dioxan-2-ylmethyl)amino]methyl}phenol,  
3,5-di-*tert*-butyl-2-({[(1,1-dioxidotetrahydro-3-thienyl)methyl]amino}methyl)phenol,  
5-{[(2,4-di-*tert*-butyl-6-hydroxybenzyl)amino]methyl}-2,4-dihydro-3*H*-1,2,4-triazol-  
3-one,  
35 2-(1-Aminoethyl)-3,5-di-*tert*-butylphenol,

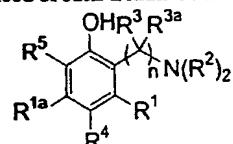
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2-(1-Aminooethyl)-3,5-di-*tert*-butylphenol,  
 3,5-Di-*tert*-butyl-2-[1-(ethylamino)ethyl]phenol,  
 3,5-Di-*tert*-butyl-2-[(propylamino)methyl]phenol,  
 3,5-Di-*tert*-butyl-2-{{(pyrazin-2-ylmethyl)amino}methyl}phenol,  
 5 2-(aminomethyl)-3,5-di-*tert*-butylphenol hydrochloride,  
 2-Aminomethyl-5-*tert*-butylphenol hydrochloride,  
 or pharmaceutically acceptable salts thereof.

7. A composition comprising a compound of claim 1 and a  
 10 pharmaceutically acceptable salt thereof.

8. A composition comprising a compound of claim 6 and a  
 pharmaceutically acceptable salt thereof.

15 9. A method for the treatment of malaria which comprises  
 administering to a patient in need of such treatment a compound of formula I:



wherein,

20 R5 is hydrogen;

R1a and R1 independently are C1-6 alkyl, halo, C1-6 alkoxy, C3-10 cycloalkyl, C6-10 aryl, and trihalovinyl, said aryl optionally substituted with 1-3 groups of Ra;

25 R2 is hydrogen, C1-6 alkyl, and C3-10 cycloalkyl; taken together with any intervening atoms can form a 3 to 7 membered carbocyclic or heterocyclic ring saturated or unsaturated, said heterocyclic ring containing 1-2 heteroatoms independently chosen from O, C(O), S, SO, SO2, N, or NR2a and optionally  
 30 substituted by 1-3 Ra groups;

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R<sup>3</sup> and R<sup>3a</sup> are independently hydrogen, halo, C<sub>1</sub>-6 alkyl, C<sub>3</sub>-10 cycloalkyl, and C<sub>6</sub>-10 aryl, said aryl and alkyl optionally substituted with 1-3 groups of R<sup>a</sup>; or

R<sup>3</sup> and R<sup>3a</sup> taken together with any intervening atoms can form a 3 to 7 membered carbocyclic or heterocyclic ring saturated or unsaturated, said heterocyclic ring containing 1-2 heteroatoms independently chosen from O, C(O), S, SO, SO<sub>2</sub>, N, or NR<sup>2a</sup> and optionally substituted by 1-3 R<sup>a</sup> groups;

R<sup>4</sup> is hydrogen, halo, C<sub>1</sub>-6 alkyl, and trihaloalkyl;

R<sup>a</sup> represents C<sub>1</sub>-6 alkoxy, C<sub>1</sub>-6 alkyl, CF<sub>3</sub>, nitro, amino, cyano, C<sub>1</sub>-6 alkylamino, or halogen; and

n represents 1-3;

or a pharmaceutically acceptable salt, enantiomer, or diasteriomer thereof.

10. A method according to claim 9 wherein the compound is :

2-aminomethyl-5-tert-butyl-3-phenylphenol,  
2-aminomethyl-5-tert-butyl-3-(4-methylphenyl)phenol,  
3,5-di-tert-butyl-2-[(ethylamino)methyl]phenol,  
3,5-di-tert-butyl-2-[1-(ethylamino)ethyl]phenol,  
3,5-di-tert-butyl-2-[(methylamino)methyl]phenol,  
3,5-bis(trichlorovinyl)-2-[(ethylamino)methyl]phenol,  
3,5-di-tert-butyl-2-[(propylamino)methyl]phenol,  
2-[(ethylamino)methyl]-5-(trichlorovinyl)phenol,  
3,5-di-tert-butyl-2-[(butylamino)methyl]phenol,  
3,5-di-tert-butyl-2-[(cyclohexylamino)methyl]phenol,  
3,5-di-tert-butyl-2-[(hexylamino)methyl]phenol,  
3,5-di-tert-butyl-2-[(octylamino)methyl]phenol,  
3,5-di-tert-butyl-2-[(2-hydroxyethylamino)methyl]phenol,  
tert-butyl N-(2,4-di-tert-butyl-6-hydroxybenzyl)-beta-alaninate,  
3,5-di-tert-butyl-2-[(2-dimethylaminoethylamino)methyl]phenol,  
3,5-di-tert-butyl-2-[(3-phenylpropylamino)methyl]phenol,  
3,5-di-tert-butyl-2-[(2-phenylethylamino)methyl]phenol,

3,5-di-tert-butyl-2-[(allylamino)methyl]phenol,  
5-tert-butyl-2-[(ethylamino)methyl]phenol,  
2-[(benzylamino)methyl]-5-tert-butylphenol,  
5-tert-butyl-2-[(cyclohexylamino)methyl]phenol,  
5 2-[(cyclohexylamino)methyl]-5-(trichlorovinyl)phenol,  
5-tert-butyl-2-{[(2-phenylethyl)amino]methyl}phenol,  
2-[(benzylamino)methyl]-5-(trichlorovinyl)phenol,  
3,5-di-tert-butyl-2-[(decylamino)methyl]phenol,  
2-[(propylamino)methyl]-5-(trichlorovinyl)phenol,  
10 5-tert-butyl-2-[(propylamino)methyl]phenol,  
5-tert-butyl-2-[(cyclopropylamino)methyl]phenol,  
5-tert-butyl-2-[(1,2,3,4-tetrahydronaphthalen-1-ylamino)methyl]phenol,  
2-[(cyclopropylamino)methyl]-5-(trichlorovinyl)phenol,  
2-[(isopropylamino)methyl]-5-(trichlorovinyl)phenol,  
15 2-[(1,2,3,4-tetrahydronaphthalen-1-ylamino)methyl]-5-(trichlorovinyl)phenol,  
2-[(2,3-dihydro-1H-inden-1-ylamino)methyl]-5-(trichlorovinyl)phenol,  
3,5-di-tert-butyl-2-{[(1R)-1-phenylethyl]amino}methyl)phenol,  
3,5-di-tert-butyl-2-{[(1-naphthylmethyl)amino]methyl}phenol,  
3,5-di-tert-butyl-2-{[(2-naphthylmethyl)amino]methyl}phenol,  
20 2-[(tert-butylamino)methyl]-5-(trichlorovinyl)phenol,  
3,5-di-tert-butyl-2-[(1,2,3,4-tetrahydronaphthalen-2-ylamino)methyl]phenol,  
2-[(cyclohexylamino)methyl]-3-(trichlorovinyl)phenol,  
3,5-di-tert-butyl-2-[(2,3-dihydro-1H-inden-2-ylamino)methyl]phenol,  
3,5-di-tert-butyl-2-{[(1R)-2,3-dihydro-1H-inden-1-ylamino]methyl}phenol,  
25 3,5-di-tert-butyl-2-{[(1S)-2,3-dihydro-1H-inden-1-ylamino]methyl}phenol,  
5-tert-butyl-2-[(2,3-dihydro-1H-inden-2-ylamino)methyl]phenol,  
5-tert-butyl-2-{[(4-methoxybenzyl)amino]methyl}phenol,  
5-tert-butyl-2-[(neopentylamino)methyl]phenol,  
5-tert-butyl-2-{[(3,3-dimethylbutyl)amino]methyl}phenol,  
30 5-tert-butyl-2-[2-(cyclohexylamino)ethyl]phenol,  
5-tert-butyl-2-[(2,3-dihydro-1H-inden-1-ylamino)methyl]phenol,  
5-tert-butyl-2-[(isopropylamino)methyl]phenol,  
3,5-di-tert-butyl-2-[(decahydronaphthalen-2-ylamino)methyl]phenol,  
5-tert-butyl-2-[(isopentylamino)methyl]phenol,  
35 5-tert-butyl-2-[(isobutylamino)methyl]phenol,

5-*tert*-butyl-2-(piperidin-1-ylmethyl)phenol,  
3,5-di-*tert*-butyl-2-{[(2-pyridin-2-ylethyl)amino]methyl}phenol,  
3,5-di-*tert*-butyl-2-{[(2-pyridin-3-ylethyl)amino]methyl}phenol,  
3,5-di-*tert*-butyl-2-{[(2-pyridin-4-ylethyl)amino]methyl}phenol,  
5 3,5-di-*tert*-butyl-2-[(tetrahydro-2H-pyran-4-ylamino)methyl]phenol,  
3,5-di-*tert*-butyl-2-{[(cyclohexylmethyl)amino]methyl}phenol,  
2-(aminomethyl)-3,5-di-*tert*-butylphenol,  
5-*tert*-butyl-2-{[(3-methoxybenzyl)amino]methyl}phenol,  
2-(aminomethyl)-4-bromo-5-*tert*-butylphenol,  
10 ethyl N-(2,4-di-*tert*-butyl-6-hydroxybenzyl)-beta-alaninate,  
3-*tert*-butyl-2-(piperidin-1-ylmethyl)phenol,  
3-*tert*-butyl-2-[(cyclohexylamino)methyl]phenol,  
2-[2-(cyclohexylamino)ethyl]-5-(trichlorovinyl)phenol,  
3-*tert*-butyl-2-[2-(cyclohexylamino)ethyl]phenol,  
15 3,5-di-*tert*-butyl-2-{[(tetrahydro-2H-pyran-2-ylmethyl)amino]methyl}phenol,  
3,5-di-*tert*-butyl-2-[2-(cyclohexylamino)ethyl]phenol,  
3,5-di-*tert*-butyl-2-{[(3-furylmethyl)amino]methyl}phenol,  
2-[2-(benzylamino)ethyl]-3,5-di-*tert*-butylphenol,  
2-(Aminomethyl)-3-*tert*-butyl-5-methylphenol,  
20 3-(Aminomethyl)-4,6-di-*tert*-butyl-4'-chlorobiphenyl-2-ol,  
4,6-Di-*tert*-butyl-3-[(*tert*-butylamino)methyl]-4'-chlorobiphenyl-2-ol,  
4,6-di-*Tert*-butyl-3-[(butylamino)methyl]-4'-chlorobiphenyl-2-ol,  
4,6-Di-*tert*-butyl-4'-chloro-3-[(dimethylamino)methyl]biphenyl-2-ol,  
4,6-Di-*tert*-butyl-4'-chloro-3-[(diethylamino)methyl]biphenyl-2-ol,  
25 5-*Tert*-butyl-2-[2-(dimethylamino)ethyl]phenol,  
5-*Tert*-butyl-2-[2-(methylamino)ethyl]phenol,  
2-(2-Aminoethyl)-3,5-di-*tert*-butylphenol,  
2-(Aminomethyl)-5-*tert*-butyl-3-methylphenol,  
2-(Aminomethyl)-3,5-bis(trichlorovinyl)phenol,  
30 2-(Aminomethyl)-5-(trichlorovinyl)phenol,  
3,5-Di-*tert*-butyl-2-{[(1,4-dioxan-2-ylmethyl)amino]methyl}phenol,  
3,5-di-*tert*-butyl-2-({[(1,1-dioxidotetrahydro-3-thienyl)methyl]amino}methyl)phenol,  
5-{[(2,4-di-*tert*-butyl-6-hydroxybenzyl)amino]methyl}-2,4-dihydro-3*H*-1,2,4-triazol-  
3-one,  
35 2-(1-Aminoethyl)-3,5-di-*tert*-butylphenol,

3,5-Di-*tert*-butyl-2-[1-(ethylamino)ethyl]phenol,  
3,5-Di-*tert*-butyl-2-[(propylamino)methyl]phenol,  
3,5-Di-*tert*-butyl-2-{[(pyrazin-2-ylmethyl)amino]methyl}phenol,  
2-(aminomethyl)-3,5-di-*tert*-butylphenol hydrochloride,  
5 2-Aminomethyl-5-*tert*-butylphenol hydrochloride,  
and pharmaceutically acceptable salts thereof.

11. A method according to claim 9 wherein a second anti-malarial agent is administered said second anti-malarial agent selected from the group  
10 consisting of Chloroquine, Fansidar, Amodiaquine, Quinine, Halofantrine, Mefloquine, Artemether/Artesunate and Malarone.